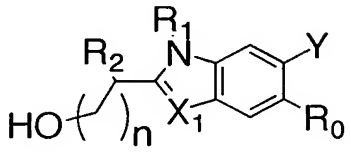
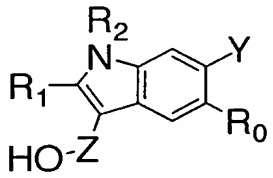
WHAT IS CLAIMED IS

1. A compound having the formula



(I)

or



(II)

in which:

R_0 is selected from the group consisting of C_1- C_3 alkyl, cyclopropyl, halo, OR_5 and $S(O)_mR_5$ in which *m* is 0, 1 or 2;

R_1 and R_2 are independently selected from the group consisting of C_2-C_8 alkenyl, phenylcyclopropyl, phenylpropenyl, R_6-X_2-$C(R_8)(R_8)$-R_7-; and R_6-X_2-$N(R_8)$-R_7-;

R_3 and R_4 are independently hydrogen, methyl or ethyl;

R_5 is methyl or ethyl;

R_6 is selected from the group consisting of hydrogen, C_1-C_{10} alkyl, aryl, W, Y, NH_2, $NHCONR_3R_4$, $NHCOOR_3$ and $NHSO_2R_9$;

R_7 is selected from the group consisting of a direct bond, an alkyl group having from 1 to 10 carbon atoms, aryl, $-(NH)_p(CH_2CH_2O)_q(NH)_p$- in which *p* is 0 or 1 and *q* is an integer from 1 to 4, and W;

R_8 is selected from the group consisting of H, Y, OH, -$NHCONR_3R_4$; -$NHCOOR_3$; -$NHSO_2R_9$, -$(CH_2)_rCO_2R_3$, and $(CH_2)_rCO_2NR_3R_4$ in which *r* is an integer from 1 to 3;

R_9 is aryl or C_1-C_6 alkyl;

X_1 is -CH-, -C-hal, -$C(CH_3)$ or -$C(C_2H_5)$, in which *hal* stands for a halogen atom (preferably chloro, fluoro or bromo);

X_2 is selected from the group consisting of a direct bond, -NH-, -$N(CH_3)$-, -$NCONR_3R_4$-, - $NCOOR_3$-, and NSO_2R_9;

W is a saturated carbocyclic or heterocyclic group;

Y is selected from the group consisting of COOH, $COOR_3$, $CONR_3R_4$, $CONHSO_2R_5$, hydroxymethyl, -CH_2COOH, $CH_2CONR_3R_4$; and 5-tetrazolyl; and

Z is -CH_2-, -$CH(CH_3)$-, $C(CH_3)_2$- or -CO-;

and hydrates and salts thereof, and labeled derivatives thereof.

2. A compound of Formula (I) according to claim 1.

3. A compound of Formula (II) according to claim 1.

4. A compound according to claim 1 in which Y is COOH or $COOR_3$.

5 . A compound according to claims 1 in which R_0 is a C_1- C_3 alkyl group.

6 . A compound according to claim 5 in which R_0 is methyl.

7. A compound according to claim 2 in which R_1 is optionally substituted phenethyl.

8. A compound according to claim 2 in which R_1 is 2-hydroxyethyl.

9. A compound according to claim 2 in which R_2 is n-butyl, phenyl or n-butyrylamido.

10. A compound according to claim 2 in which R_2 is R_6-X_2-$C(R_8)(R_8)$-R_7- or R_6-X_2-$N(R_8)$-R_7-, and the group R_6-X_2-$C(R_8)(R_8)$-R_7- or R_6-X_2-$N(R_8)$-R_7- is selected from C_3-C_8 alkyl; C_3-C_6 cycloalkyl; C_3-C_8 alkenyl; -$(CH_2)_mC_6H_5$ where *m* is 0 or an integer from

1-3; $-CH_2OC_6H_5$, $CH_2COC_6H_5$, phenyl(C_2-C_4 alkenyl), or analogous moieties having substituted phenyl groups; optionally substituted phenylcyclopropyl; $-(CH_2)_sOH$, $-(CH_2)_sCONH_2$ and $-(CH_2)_sCOOH$ where *s* is an integer from 1 to 3; phenyl; thienyl; and optionally substituted C_3-C_6 cycloalkyl-(C_1-C_3 alkyl).

11. A compound according to claim 2 in which R_0 is methyl, R_1 is phenethyl, R_2 is n-butyl, X_1 is -CH, Y is COOH and *n* is 0.

12. A compound according to claim 2 in which R_0 is methyl, R_1 is 2-hydroxyethyl, R_2 is n-butyl, X_1 is -CH, Y is COOH and *n* is 0.

13. A compound according to claim 3 in which R_2 is phenethyl or 2-hydroxyethyl.

14. A compound according to claim 3 in which R_1 is C_3-C_8 alkyl.

15. A compound according to claim 3 in which R_0 is methyl, R_1 is n-pentyl, R_2 is phenethyl, X_1 is -CH and Y is COOH.

16. A probe comprising a compound according to claim 1 and a detectable label.

17. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 1.

18. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 2.

19. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 3.

20. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 7.

21. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 8.

22. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 9.

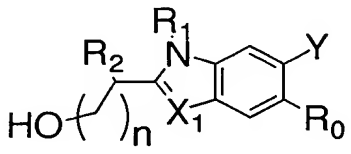
23. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 10.

24. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 11.

25. A method for inhibiting the functioning of a PDZ domain of a protein comprising contacting the protein with an inhibitory effective amount of a compound according to claim 15.

26. A method according to claim 17 in which the protein is a MAGI protein.

27. A combinatorial library of two or more compounds having the formula



(I)

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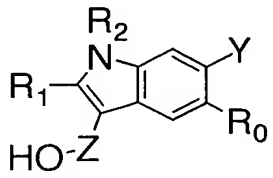

(II)

in which:

R_0 is selected from the group consisting of C_1- C_3 alkyl, cyclopropyl, halo, OR_5 and $S(O)_mR_5$ in which *m* is 0, 1 or 2;

R_1 and R_2 are independently selected from the group consisting of C_2-C_8 alkenyl, phenylcyclopropyl, phenylpropenyl,), R_6-X_2-$C(R_8)(R_8)$-R_7-; and R_6-X_2-$N(R_8)$-R_7-;

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X_2 is selected from the group consisting of a direct bond, -NH-, $-N(CH_3)$-, $-NCONR_3R_4$-, $-NCOOR_3$-, and NSO_2R_9;

W is a saturated carbocyclic or heterocyclic group;

Y is selected from the group consisting of COOH, $COOR_3$, $CONR_3R_4$, $CONHSO_2R_5$, hydroxymethyl, $-CH_2COOH$, $CH_2CONR_3R_4$; and 5-tetrazolyl; and

Z is $-CH_2$-, $-CH(CH_3)$-, $C(CH_3)_2$- or -CO-;

and hydrates and salts thereof, and labeled derivatives thereof.

28. A combinatorial library according to claim 27 in which the compounds are of Formula (I).

29. A combinatorial library according to claim 27 in which the compounds are of Formula (II).

30. A method for screening one or more proteins for PDZ domain activity comprising contacting the one or more proteins with a compound according to claim 1.

31. An array for screening for PDZ domain activity or inhibition of the same, or for studying protein-protein interactions comprising two or more compounds according to claim 1.

32. A method for treating a cancer in cancerous cells or in a patient comprising contacting the cancerous cells with, or administering to the patient, a therapeutically effective amount of a compound according to claim 1.

33. A method for treating a cancer in a patient comprising administering to the patient a therapeutically effective amount of a compound according to claim 2.

34. A method for treating a cancer in a patient comprising administering to the patient a therapeutically effective amount of a compound according to claim 3.

35. A method for treating a cancer in a patient comprising administering to the patient a therapeutically effective amount of a compound according to claim 11.

36. A method for treating a cancer in a patient comprising administering to the patient a therapeutically effective amount of a compound according to claim 15.